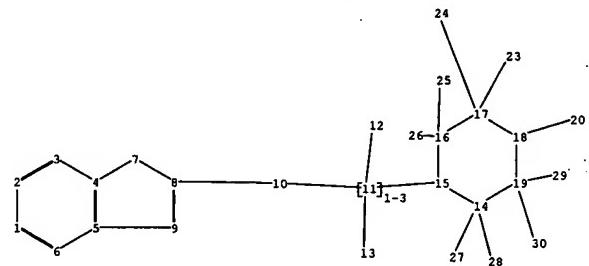
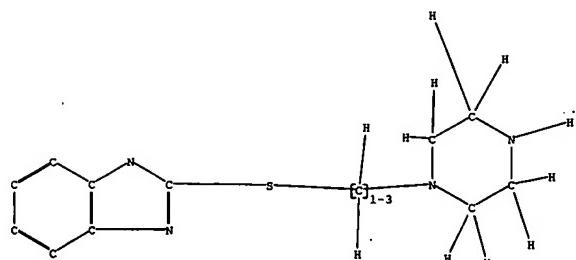


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	810	(544/370).CCLS.	US-PGPUB; USPAT	OR	OFF	2006/12/24 17:27
L3	56	l2 and (protect\$) same (formyl\$)	US-PGPUB; USPAT	OR	OFF	2006/12/24 17:30



chain nodes :

10 11 12 13 20 23 24 25 26 27 28 29 30

ring nodes :

1 2 3 4 5 6 7 8 9 14 15 16 17 18 19

chain bonds :

8-10 10-11 11-12 11-13 11-15 14-27 14-28 16-25 16-26 17-23 17-24 18-20 19-29 19-30

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-18 18-19

exact/norm bonds :

4-7 5-9 7-8 8-9 8-10 10-11 11-15 14-15 14-19 15-16 16-17 17-18 18-19

exact bonds :

11-12 11-13 14-27 14-28 16-25 16-26 17-23 17-24 18-20 19-29 19-30

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS11:CLASS12:CLASS13:CLASS14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS23:CLASS24:CLASS25:CLASS26:CLASS27:CLASS28:CLASS29:CLASS30:CLASS

10535705

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 752 TO 1688  
PROJECTED ANSWERS: 1 TO 79

L2 1 SEA SSS SAM L1

=> s 11 sss full  
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SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

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FULL ESTIMATED COST ENTRY SESSION  
167.38 167.59

FILE 'REGISTRY' ENTERED AT 17:40:21 ON 24 DEC 2006  
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=> s 13/p  
'P' IS NOT A VALID CROSSOVER QUALIFIER FOR L3  
Answer sets created in a different file may be field qualified with a  
limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt  
(=>) for specific information.

=> s 13

10535705

SAMPLE SEARCH INITIATED 17:40:30 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 752 TO 1688  
PROJECTED ANSWERS: 1 TO 79

L4 1 SEA SSS SAM L1

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FULL ESTIMATED COST ENTRY SESSION  
0.44 168.03

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FILE COVERS 1907 - 24 Dec 2006 VOL 146 ISS 1  
FILE LAST UPDATED: 22 Dec 2006 (20061222/ED)

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L5 7 L3

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FIELD CODES CANNOT BE CHANGED HERE  
You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

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40320 FORMYL?/AB  
70473 FORMYL?/BI  
L7 2 L6 AND FORMYL?/AB,BI

=> d 17 1-2 bib abs

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:467869 CAPLUS  
 DN 141:23553  
 TI Process for preparation of 1-[2-(benzimidazol-2-yl-thio)ethyl]piperazine derivatives  
 IN Shibuya, Kimiyuki; Sato, Yukihiro  
 PA Kowa Co., Ltd., Japan  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004048342	A1	20040610	WO 2003-JP15154	20031127
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	AU 2003284459	A1	20040618	AU 2003-284459	20031127
	EP 1566381	A1	20050824	EP 2003-775913	20031127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006035906	A1	20060216	US 2005-535705	20050520
PRAI	JP 2002-346114	A	20021128		
	WO 2003-JP15154	W	20031127		
AB	An improved process for the preparation of 1-[2-(benzimidazol-2-yl-thio)ethyl]piperazine, which is useful as ACAT inhibitor, is disclosed. Reaction of 1-formyl-4-(3-hydroxyethyl)piperazine with 2-mercaptopbenzimidazole gave 1-[2-(benzimidazol-2-yl-thio)ethyl]-4-formylpiperazine in 74% yield. Deprotection by HCl afforded the title compd in 97% yield. Thus, the present invention provides a process producing the title compound and its intermediates with high yield, simplified procedure and easy scale-up.				
RE.CNT 13	THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:551499 CAPLUS  
 DN 139:101148  
 TI Process for preparation of piperazine derivatives  
 IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Sato, Yukihiro; Miura, Toru  
 PA Kowa Co., Ltd., Japan  
 SO PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003057675	A1	20030717	WO 2002-JP13793	20021227
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 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
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 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002367268 A1 20030724 AU 2002-367268 20021227  
 EP 1460065 A1 20040922 EP 2002-790938 20021227  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 US 2005032814 A1 20050210 US 2004-498984 20040625  
 US 6998486 B2 20060214  
 PRAI JP 2001-401044 A 20011228  
 WO 2002-JP13793 W 20021227  
 OS MARPAT 139:101148  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention pertains to a method for producing cyclic diamines with general formula of I [wherein Ar = (un)substituted aryl] or salts or intermediates thereof. The reaction of II [wherein R = protecting group] with 2-mercaptopbenzimidazole or bis(2-benzimidazolyl)disulfide in the presence of a phosphine or a phosphonium ylide reagent gives III. III is deprotected, and reacted with YCH<sub>2</sub>CONHAr [wherein Y = halo] to produce I. For example, 1-formyl-4-(2-hydroxyethyl)piperazine was reacted with 2-mercaptopbenzimidazole in DMF in the presence of PPh<sub>3</sub> and di-Et azodicarbonate to give 1-formyl-4-[2-(mercaptopbenzimidazol-2-ylthio)ethyl]piperazine (90%). The above compound was deprotected with 12 N HCl in MeOH to produce 1-[2-(benzimidazol-2-ylthio)ethyl]piperazine•3H Cl (90%). The compound obtained was coupled with N-[2,4-bis(methylthio)-6-methylpyridin-3-yl]-2-bromoacetamide in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub> to afford the amide IV (88%). I can be industrially advantageously produced in high yield and at high purity.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 16 not 17  
 L8 5 L6 NOT L7  
 => d 18 1-5 bib abs

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:30569 CAPLUS  
 DN 144:129002  
 TI Process for the preparation of cyclic diamine derivative  
 IN Shibuya, Kimiyuki; Tosaka, Ayako  
 PA Kowa Co., Ltd., Japan  
 SO PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2

DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2006003974 A1 20060112 WO 2005-JP12041 20050630  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
 NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
 SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
 ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,  
 KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,  
 KZ, MD, RU, TJ, TM  
 PRAI JP 2004-193349 A 20040630  
 OS MARPAT 144:129002  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Process for preparing compds. I [A = NH, O, S; W1-W4 = CH, or one of W1-W4 is N; R1 = alkylthio; R2-R4 = H, halo, alkyl, etc.; m, n (undefined)] via reaction of compds. II [R1 = same as above] with compds. III [A, W1-W4, R2-R3, m, n = same as above] in the presence of a phosphorus compound was disclosed. Therefore, to a mixture of compound II [R1 = SMe] (373 mg), 1-[2-(benzimidazol-2-ylthio)ethyl]piperazine (1.40 g) and PPh<sub>3</sub> (1.34 g) in DMF (20 mL) was added azodicarboxylic acid di-Et ester (1.88 mL) over a period of 5 min. Then, stirring at room temperature for 1 h followed by aqueous

work-up and silica-gel purification afforded compound I [A = NH; W1-W4 = CH;

R1 =

SMe; R2-R4 = H; m = 1; n = 2] in 51% yield.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

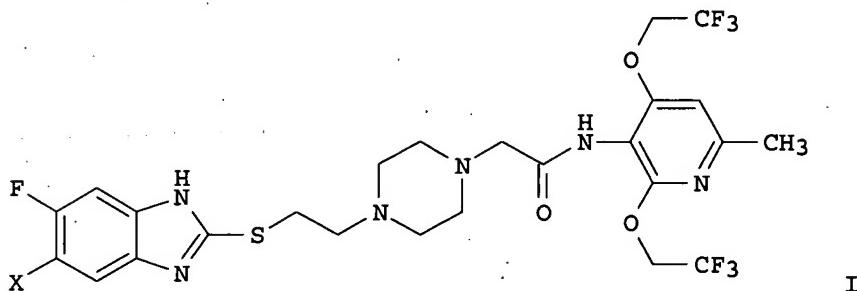
L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:29328 CAPLUS  
 DN 142:114069  
 TI Preparation of benzimidazole compounds containing 2,4-bis(trifluoroethoxy)pyridine moiety as ACAT inhibitors  
 IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Matsuda, Takayuki; Miura, Toru  
 PA Kowa Co., Ltd., Japan  
 SO PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2

DT Patent  
 LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005003119	A1	20050113	WO 2004-JP9563	20040706
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

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EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG  
AU 2004254226 A1 20050113 AU 2004-254226 20040706  
CA 2529207 A1 20050113 CA 2004-2529207 20040706  
US 2005020606 A1 20050127 US 2004-883710 20040706  
EP 1642899 A1 20060405 EP 2004-747032 20040706  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
CN 1816542 A 20060809 CN 2004-80019168 20040706  
NO 2005006169 A 20060116 NO 2005-6169 20051223  
PRAI JP 2003-192853 A 20030707  
WO 2004-JP9563 W 20040706  
OS MARPAT 142:114069  
GI



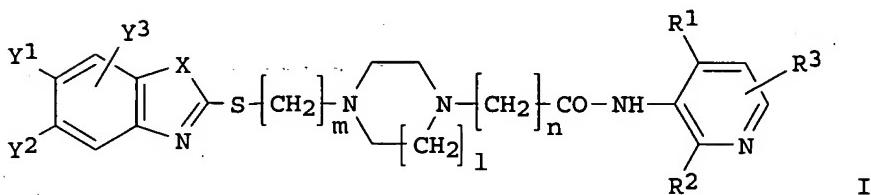
AB Title compds I [X = H, F] were prepared For example, reaction of 2-[4-[2-(hydroxy)ethyl]piperazin-1-yl]-N-[2,4-bis(2,2,2-trifluoroethoxy)-6-methylpyridin-3-yl]acetamide with 5,6-difluoro-2-mercaptopbenzimidazole under Mitsunobu reaction condition afforded compound I [X = F] in 90.1% yield. In ACAT (acyl CoA cholesterol acyl transferase) inhibition assays, the IC<sub>50</sub> value of compound I [X = F] was 75 nM. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:740316 CAPLUS  
DN 141:260770  
TI Piperazine related compounds and process for producing acid adduct salt thereof  
IN Shibuya, Kimiyuki; Ohgiya, Tadaaki; Matsuda, Takayuki  
PA Kowa Co., Ltd., Japan  
SO PCT Int. Appl., 70 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004076441	A1	20040910	WO 2004-JP2375	20040227
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 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,  
 GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2004215523 A1 20040910 AU 2004-215523 20040227  
 CA 2516822 A1 20040910 CA 2004-2516822 20040227  
 EP 1598346 A1 20051123 EP 2004-715495 20040227  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2004007908 A 20060214 BR 2004-7908 20040227  
 CN 1753886 A 20060329 CN 2004-80005262 20040227  
 US 2006079688 A1 20060413 US 2005-545200 20050811  
 PRAI JP 2003-52700 A 20030228  
 WO 2004-JP2375 A 20040227  
 OS MARPAT 141:260770  
 GI



AB A process for producing an acid adduct salt of polyacidic base compd or a water adduct thereof characterized in that a polyacidic base compound having a moiety of basicity stronger than that of pyridine is reacted with an acid salt of pyridine was disclosed. Piperazine related compds. I [X = NH, O, S; Y1, Y2, Y3 = H, halo, etc.; R1, R2, R3 = H, halo, etc; l = 1, 2; m = 2-4; n = 1-3] were prepared. For example, a mixture of compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1] (2.00 kg) and pyridine hydrochloride (0.92 kg) in ethanol (12 L) was stirred at reflux to give clear solution. Water (20 L) was added dropwise to a resulting solution at 75-87 °C, then stirring at room temperature for 1 h furnished compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1] · HCl (1.96 kg). Compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1] · HCl (1.96 kg) was dispersed in water (40 L), followed by removal of water and cooling to room temperature to afford compound I [X = NH; Y1 = Y2 = Y3 = H; R1 = R2 = SMe; R3 = 6-methyl; l = 1; m = 2; n = 1] · HCl (1.96 kg) · HCl · 0.9H2O (1.70 kg). Of note, disclosed process enables easy appropriate changing of the acid addition quantity of the acid adduct salt of polyacidic base compound to a quantity suitable for the polyacidic base compound.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:162460 CAPLUS

DN 140:217669

TI Preparation of novel cyclic diamine compounds as inhibitors of acyl CoA

cholesterol acyltransferase (ACAT)

IN Shibuya, Kimiyuki; Kawamine, Katsumi; Sato, Yukihiro; Miura, Toru; Ozaki, Chiyoka; Edano, Toshiyuki; Hirata, Mitsuteru; Ohgiya, Tadaaki

PA Kowa Company, Ltd., Japan

SO U.S. Pat. Appl. Publ., 95 pp., Cont.-in-part of U.S. Ser. No. 424,417, abandoned.

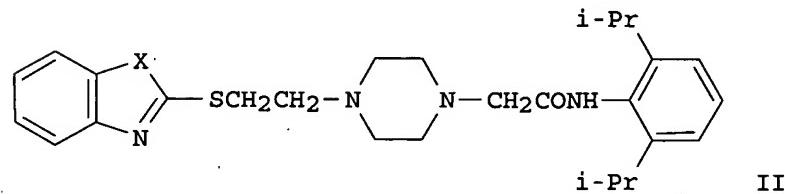
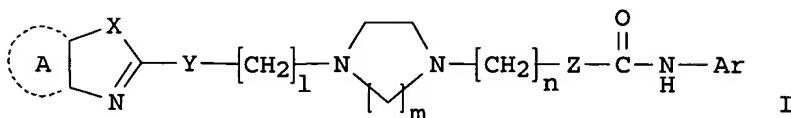
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004038987 US 6969711 WO 9854153	A1 B2 A1	20040226 20051129 19981203	US 2003-371234 WO 1998-JP2300 19980526	20030220 19980526
				W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
PRAI	JP 1997-149892 WO 1998-JP2300 US 2000-424417	A A B2	19970526 19980526 20000330		
OS	MARPAT 140:217669				
GI					

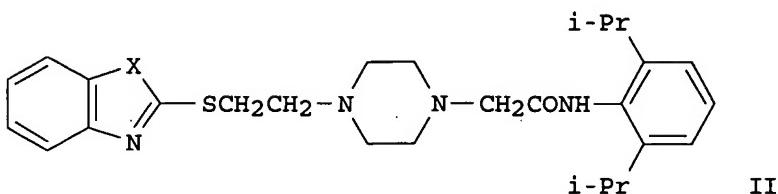
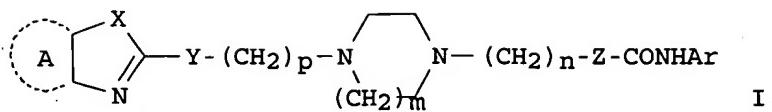


AB The title substituted piperazines and homopiperazines (1,4-diazepines) I [ring A = (un)substituted benzene, pyridine, cyclohexane, or naphthalene or vinylene divalent residue; Ar = (un)substituted aryl; X = NH, O, S; Y = NR1, O, S, SO, SO2; Z = single bond or NR2; R1, R2 = H, (un)substituted alkyl, aryl, silylalkyl; l = 0-15; m = 2-3; n = 0-3] and salts or solvates, useful for therapy or prevention of hyperlipidemia, arteriosclerosis, cerebrovascular disorder, ischemic cardiopathy, ischemic entheropathy or aortic aneurysm, were prepared. Thus, N-(2,6-diisopropylphenyl)-2-[4-(2-hydroxyethyl)piperazin-1-yl]acetamide was mesylated in the presence of Et3N and 4-dimethylaminopyridine in THF and then condensed with 2-mercaptopbenzoxazole to give the title compound [II; X = O]. The latter compound and II [X = NH] showed IC50 of 0.024 and 0.011  $\mu$ M against ACAT derived from rabbit blood cell wall, resp., and 0.045 and 0.051 against ACAT derived from rabbit small intestine, resp. The

pharmaceutical composition comprising the compound I is claimed.  
 RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1998:794988 CAPLUS  
 DN 130:52439  
 TI Preparation of novel cyclic diamine compounds as inhibitors of acyl CoA cholesterol acyltransferase (ACAT)  
 IN Shibuya, Kimiyuki; Kawamine, Katsumi; Sato, Yukihiro; Miura, Toru; Ozaki, Chiyoka; Edano, Toshiyuki; Hirata, Mitsuteru  
 PA Kowa Company, Ltd., Japan  
 SO PCT Int. Appl., 177 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854153	A1	19981203	WO 1998-JP2300	19980526
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2290744	A1	19981203	CA 1998-2290744	19980526
	AU 9874512	A	19981230	AU 1998-74512	19980526
	AU 728151	B2	20010104		
	EP 987254	A1	20000322	EP 1998-921809	19980526
	EP 987254	B1	20041222		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	HU 200002294	A2	20010928	HU 2000-2294	19980526
	NZ 501156	A	20020201	NZ 1998-501156	19980526
	RU 2207341	C2	20030627	RU 1999-128053	19980526
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	PT 987254	T	20050429	PT 1998-921809	19980526
	ES 2235328	T3	20050701	ES 1998-921809	19980526
	SK 284891	B6	20060202	SK 1999-1582	19980526
	NO 9905783	A	20000126	NO 1999-5783	19991125
	NO 315045	B1	20030630		
	US 2004038987	A1	20040226	US 2003-371234	20030220
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	WO 1998-JP2300	W	19980526		
	US 2000-424417	B2	20000330		
OS	MARPAT 130:52439				
GI					



AB N,N-dialkylpiperazine and -homopiperazine (1,4-diazepine) compds. represented by formula (I; ring A = optionally substituted benzene, pyridine, cyclohexane, or naphthalene or vinylene divalent residue; Ar = optionally substituted aryl; X = NH, oxygen, or sulfur; Y = NR<sub>1</sub>, oxygen, sulfur, sulfoxide, or sulfone; Z = single bond or NR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = hydrogen, optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted lower silylalkyl; p = an integer of 0 to 15; m = 2 or 3; n = an integer of 0 to 3) and salts or solvates of these are prepared. These compds. are also useful as inhibitors of cellular cholesterol transport and macrophage foam cell formation, and as serum cholesterol lowering agents and for treatment and prevention of high lipidemia, arteriosclerosis, cerebral vascular diseases, ischemic heart diseases, ischemic intestinal diseases, and aortic aneurysm. Thus, N-(2,6-diisopropylphenyl)-2-[4-(2-hydroxyethyl)piperazin-1-yl]acetamide was mesylated by methanesulfonyl chloride in the presence of Et<sub>3</sub>N and 4-dimethylaminopyridine in THF and then condensed with 2-mercaptopbenzoxazole to give the title compound (II; X = O). The latter compound and II (X = NH) showed IC<sub>50</sub> of 0.024 and 0.011 μM against ACAT derived from rabbit chest aorta, resp., and 0.045 and 0.051 ACAT derived from rabbit small intestine aorta, resp. Although a reference compound, 6-(benzoxazol-2-ylthio)-N-(2,6-diisopropylphenyl)nonamide, showed higher activity against ACAT (IC<sub>50</sub> of 0.007 and 0.61 μM for ACAT derived from rabbit chest and small intestine aorta, resp.), the water solubility was much lower, i.e. 0.05 μg/mL at pH 1.2 vs. 14 mg/mL for II (X = O).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	24.46	192.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.25

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